LD IS NOT A RECOGNIZED COMMAND

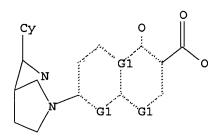
The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> d l1

L1 HAS NO ANSWERS

T.1

STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 11:07:38 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 105 TO ITERATE

100.0% PROCESSED 105 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

1486 TO 2714

PROJECTED ANSWERS:

1 TO 80

L2

1 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 11:07:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2176 TO ITERATE

100.0% PROCESSED 2176 ITERATIONS

35 ANSWERS

SEARCH TIME: 00.00.01

L3 35 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 11:07:54 ON 10 JUL 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 10 Jul 2003 VOL 139 ISS 2 FILE LAST UPDATED: 9 Jul 2003 (20030709/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 5 L3

=> d l4 1-5 ibib abs hitstr

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2002:315470 CAPLUS

DOCUMENT NUMBER:

136:340596

TITLE:

Preparation of quinolones as antibacterials.

INVENTOR(S):

Ledoussal, Benoit; Almstead, Ji-in Kim; Gray, Jeffrey

Lyle

PATENT ASSIGNEE(S):

The Procter & Gamble Company, USA

SOURCE:

U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U.S.

Ser. No. 266,197.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DATE
US 2002049192	A1	20020425	US 2001-929943 20010815
US 6387928 ZA 9808415	B1 A	20020514	ZA 1998-8415 19980915
US 6329391 US 2002173501	B1 A1	20011211 20021121	US 1999-266197 19990310 US 2002-85786 20020228
PRIORITY APPLN. INFO.	:		US 1997-58891P P 19970915 US 1998-139859 B2 19980825
			US 1999-266197 A2 19990310 US 2001-929943 A1 20010815

OTHER SOURCE(S):

MARPAT 136:340596

GI

Ι

AB Title compds. [I; X = R7-, R9-substituted azetidinyl, pyrrolidinyl, piperidinyl; R1 = (substituted) C3-5 cycloalkyl, alkyl, alkenyl, Ph; R3 =

H, OH; R5 = H, OH, amino, halo, (substituted) alkyl, alkenyl, MeO; R8 = F, Cl, Br; R7 = (substituted) amino, aminoalkyl; R9 = H, (substituted) alkyl, alkenyl, alkynyl, C3-6 fused or spirocycle alkyl ring; 1 R9 = optionally OH, alkoxy, aryl, heteroaryl; R7R9 = atoms to form a fused or spirocycle ringl, were prepd. as antibacterials (no data). I drug formulations are given.

IT 416848-87-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of)

RN 416848-87-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[(3R)-3-(aminocyclopropylmethyl)-1-pyrrolidinyl]-8-chloro-1-cyclopropyl-1,4-dihydro-6-hydroxy-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2001:895649 CAPLUS

DOCUMENT NUMBER:

136:20031

TITLE:

Compositions and uses of antimicrobial quinolones

INVENTOR (S):

Ledoussal, Benoit; Almstead, Ji-In Kim; Gray, Jeffrey

Lyle; Hu, Xiufeng Eric

PATENT ASSIGNEE(S):

The Procter & Gamble Co., USA

SOURCE:

U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 139,859,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DATE
US 6329391	B1	20011211	US 1999-266197 19990310
ZA 9808415	Α	19990315	ZA 1998-8415 19980915
US 2002049192	A1	20020425	US 2001-929943 20010815
US 6387928	B1	20020514	
US 2002173501	A1	20021121	US 2002-85786 20020228
PRIORITY APPLN. INFO.	:		US 1997-58891P P 19970915
			US 1998-139859 B2 19980825
			US 1999-266197 A2 19990310
			US 2001-929943 A1 20010815

OTHER SOURCE(S):

MARPAT 136:20031

GI

This invention relates to novel antimicrobial compds., e.g., I [X = X1, AB X2, X3; R1 = (un)substituted C3-5-cycloalkyl, Me, Et, vinyl, propenyl, branched C3-4-alkyl, C3-4-alkenyl, Ph, C6H4OH-4 (substituted with 1 - 3 F); R3 = H, OH; R5 = H, OH, halo, NH2, Me, Et, vinyl, OMe (substituted with 1 - 3 F); R6 = H, OH, aminocarbonyl, Br, CN, Me, Et, CH2NH2, CH2OH, CH2CH2OH, CH2CH2NH2, C2-4-alkenyl, C2-4-alkynyl (substituted with 1 - 3 F); R7 = NH2 not adjacent to ring N, C1-3-alkylamino, H2N-C1-3-alkyl, C1-3-alkylamino-C1-3-alkyl, di(C1-3-alkyl)amino-C1-3-alkyl; R8 = OMe, SMe, CH2F, CHF2, CF3, CH2CH2F, CH2CHF2, CH2CF3; R9 = H, C1-4-alkyl, C2-6-alkenyl, C2-6-alkynyl, C3-6-spirocycloalkyl; R7R9 = spirocycle contg. 2 - 5 carbons and 0 - 1 nitrogens], and to their optical isomers, diastereomers or enantiomers, as well as pharmaceutically-acceptable salts, hydrates, and biohydrolyzable esters, amides and imides thereof, and to compns. and uses of such compds. The invention also relates to compds. derived from these compds. having antimicrobial uses. Thus, two tablets, coated with a suspension of methacrylic acid/ester polymer in Me2CHOH/MeCOMe, are orally administrated every 8 h for 4 days to a human subject, having a urinary tract infection with Escherichia coli present; symptoms of the disease subsided, indicating substantial eradication of the pathogen.

I

IT 378746-57-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(compns. and uses of antimicrobial quinolones)

RN 378746-57-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[(3R)-3-(aminocyclopropylmethyl)-1pyrrolidinyl]-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2000:646003 CAPLUS

DOCUMENT NUMBER:

133:222606

TITLE:

Preparation of 3-(aminomethyl)pyrrolidine derivatives having aromatic substituents as antibacterial agents

INVENTOR (S): Takemura, Makoto; Takahashi, Hisashi; Kawakami,

Katsuhiro; Takeda, Toshiyuki; Miyauchi, Rie

PATENT ASSIGNEE(S):

Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 140 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT	NO.		KI	ND :	DATE			A	PPLI	CATI	и ис	Ο.	DATE			
									-								
WO	2000	0535	94	A	1	2000	0914		W	0 20	00-J	P143	9	2000	0309		
	W:	ΑE,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE,	DK,	DM,	DΖ,	EE,	ES,	FI,	GB,	GD,	GΕ,	GH,	GM,	HR,	HU,	ID,
		IL,	IN,	īs,	JP,	KE,	KG,	KP,	KR,	ΚŻ,	LC,	LK,	LR,	ĹS,	LT,	LU,	LV,
		ΜA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,
		SI,	SK,	SL,	ТJ,	TM,	TR,	ΤT,	TZ,	UA,	UG,	US,	UΖ,	VN,	YU,	ZA,	ZW,
		AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM							
	RW:	GH,	GM,	KΕ,	LS,	MW,	SD,	SL,	SZ,	ΤZ,	UG,	ZW,	ΑT,	ΒE,	CH,	CY,	DE,
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG				
EP	1182	202		A:	1 :	2002	0227		E	P 20	00-9	0797	3	2000	0309		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO										
NO	2001	0043	74	Α		2001	1112		N	0 20	01-4	374		2001	0907		
PRIORIT	Y APP	LN.	INFO	. :					JP 1	999-	6280	5	Α	1999	0310		
								7	WO 2	000-	JP14:	39	W	2000	0309		
OTHER SOURCE(S):					MARPAT 133:222606												

TO

GI

Prepd. are quinolone derivs. having potent antibacterial effects on various bacteria including insensible bacilli, which are compds. represented by general formula (I), salts of the same, or hydrates of both [wherein R1 is an optionally substituted C6-10 aryl or heteroaryl; R2 and R3 are each hydrogen or optionally substituted alkyl; R4, R5 and R6 are each hydrogen, hydroxyl, halogeno, carbamoyl, or C1-6 alkyl, alkoxy, or alkylthio; R7 and R8 are each hydrogen or C1-6 alkyl; R9 is C1-6 alkyl, C2-6 alkenyl, C1-6 halogenoalkyl, optionally substituted C3-6 cycloalkyl, aryl, or heteroaryl, or C1-6 alkoxy or alkylamino; R10 is hydrogen or C1-6 alkylthio; R11 is hydrogen, amino, hydroxyl, thiol, halomethyl, C1-6 alkyl, or the like; X1 is halogeno or hydrogen; A1 is nitrogen or C-X2; X2 is hydrogen, amino, halogeno, or the like; A2 and A3 are each >C:C(:A1)-N(R9)- or >N-C(:A1):C(R9)-; R10 and R9 or R9 and X2 may be united to form a ring structure; and Y is hydrogen or an ester-forming group]. Thus, (R)-3-[1-(tert-butoxycarbonylamino)-1phenylmethyl]pyrrolidine was added to a suspension of 5-amino-6,7,8trifluoro-1-[(1S,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxoquinoline-3carboxylic acid in MeCN and refluxed in the presence of Et3N for 14 h, followed by treatment of the product with concd. aq. HCl to give 5-amino-7-[(R)-3-(1-amino-1-phenylmethyl)-1-pyrrolidinyl]-6,8-difluoro-1-[(1S,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxoquinoline-3-carboxylic acid (II). II showed min. inhibitory concn. of .ltoreg.0.003 .mu.g/mL against Escherichia coli NIHJ, Staphylococcus aureus FDA 209P, and Staphylococcus epidermidis 56500.

IT 292054-66-1P 292054-67-2P 292054-69-4P 292054-70-7P 292054-71-8P 292054-72-9P

292054-84-3P 292054-85-4P 292055-10-8P

292055-11-9P 292055-41-5P 292055-42-6P

292055-43-7P 292055-53-9P 292055-55-1P

292055-57-3P 292055-58-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [(aminomethyl)pyrrolidinyl]dihydrooxoquinolinecarboxylic acid derivs. as antibacterial agents)

RN 292054-66-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-aminophenylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

09/288,556

RN 292054-67-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-aminophenylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 292054-69-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[(3R)-3-(aminophenylmethyl)-1-pyrrolidinyl]6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 292054-70-7 CAPLUS
CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,
10-[(3R)-3-(aminophenylmethyl)-1-pyrrolidinyl]-9-fluoro-2,3-dihydro-3methyl-7-oxo- (9CI) (CA INDEX NAME)

RN 292054-71-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-(aminophenylmethyl)-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methyl-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 292054-72-9 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[(3R)-3-(aminophenylmethyl)-1-pyrrolidinyl]1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 292054-84-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino(2-methoxyphenyl)methyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 292054-85-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino(2-methoxyphenyl)methyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 292055-10-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino-2-furanylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 292055-11-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino-2-furanylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 292055-41-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino-2-pyridinylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 292055-42-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino-2-pyridinylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 292055-43-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-(amino-2-pyridinylmethyl)-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 292055-53-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino-3-pyridinylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 292055-55-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino-3-pyridinylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 292055-57-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino-3-pyridinylmethyl]-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-

1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ N & & & \\ \end{array}$$

RN 292055-58-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino-3-pyridinylmethyl]-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methyl-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 292054-96-7P 292054-97-8P 292055-27-7P 292055-28-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of [(aminomethyl)pyrrolidinyl]dihydrooxoquinolinecarboxylic
acid derivs. as antibacterial agents)

RN 292054-96-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino(2,4-difluorophenyl)methyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 292054-97-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino(2,4-difluorophenyl)methyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 292055-27-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino-2-thiazolylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 292055-28-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino-2-thiazolylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 25 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2003 ACS ANSWER 4 OF 5 2000:368335 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

132:347503

TITLE:

Preparation of 7-[3-(cycloalkylaminomethyl)pyrrolidin-

1-yl]quinolone derivatives as antibacterial agents Takemura, Makoto; Takahashi, Hisashi; Miyauchi, Rie;

Takeda, Toshiyuki; Hayakawa, Isao

PATENT ASSIGNEE(S):

Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 65 pp. CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.			KIND DATE				APPLICATION NO. DATE										
	WO	2000	0310	62	A	1	2000	0602		W	0 19	99-J	P652	1	1999	1122		
		W:	AE:	AL.	AM.	AT	AU.	AZ.	BA.	BB.	BG.	BR.	BY,	CA,	CH,	CN,	CR,	CU,
															HR,			
			•	•		•	•	•	•						LT,			
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							MD,				00,	05,	02,	V 14 ,	10,	<i>u</i> .,	211,	A
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		RW:	•	•	•	•	•	•	•	•	•	•			BE,		-	•
			•	•	•	•	•	•						-	SE,	BF,	BJ,	CF,
							GN,											
	EΡ	1134																
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	ΝL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO										
	BR	9915	599		Α		2001	1120		B	R 19	99-1	5599		1999	1122		
	ΑU	7578	05		B	2	2003	0306		A	J 20	00-1	1862		1999:	1122		
	NO	2001	0025	22	Α		2001	0724		N	20	01-2	522		2001	0522		
	US	6573	260		В	1	2003	0603		U	S 20	01-8	5663	1	2001	0524		
												1998	1124					
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ОТНЕ	2 50	OURCE	(9) .			мдр	PAT	132.		-		0 2 0 0 0 .		••				
GI		JORCE	(5).															

AB Compds. represented by general formula [I; wherein R1 and R2 are each hydrogen or alkyl; n is 1 to 4; R3 is alkyl, alkenyl or the like; R4 is hydrogen or alkylthio; R5 is hydrogen, amino or the like; X1 is halogeno or hydrogen; A1 is nitrogen or a group represented by general :C(X2) (wherein X2 is hydrogen, amino or the like); R4 and R3, and X2 and R3 may be each united to form a cyclic structure; and Y is hydrogen or an ester-forming group], salts thereof, and hydrates of both are prepd. These quinolone derivs. have high safety and exhibit a broad spectrum of potent antibacterial effects on various bacteria, in particular methicillin-resistant Staphylococcus aureus, penicillin-resistant pneumoniae, and gram-pos. bacteria. A capsule, an injection soln., and a dispersant for feed contg. 5-Amino-7-[3-(1-amino-1-cyclopropylmethyl)pyrrolidin-1-yl]-6,8-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-1,4-dihydro-4-oxoquinoline-3-carboxylic acid were formulated.

IT 269406-85-1P 269406-86-2P 269406-87-3P 269406-88-4P 269406-98-6P 269406-99-7P 269407-00-3P 269407-01-4P 269407-14-9P 269407-15-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 7-[3-(cycloalkylaminomethyl)pyrrolidin-1-yl]quinolone derivs. as antibacterial agents)

RN 269406-85-1 CAPLUS

CN

3-Quinolinecarboxylic acid, 5-amino-7-[(3S)-3-[(S)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 269406-86-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3S)-3-[(R)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 269406-87-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 269406-88-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 269406-98-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[3-[(S)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 269406-99-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[3-[(S)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 269407-00-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[3-[(S)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 269407-01-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[3-[(S)-aminocyclopropylmethyl]-1-pyrrolidinyl]-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 269407-14-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-aminocyclobutylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 269407-15-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-aminocyclobutylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1997:116497 CAPLUS

DOCUMENT NUMBER: 126:117990

TITLE: Preparation of quinolizinone- and

pyridopyrimidinonecarboxylates as antibacterials INVENTOR(S): Chu, Daniel T.; Li, Qun; Cooper, Curt S.; Fung,

Anthony K. L.; Lee, Cheuk M.; Plattner, Jacob J.; Ma,

Zhenkun; Wang, Wei-Bo

PATENT ASSIGNEE(S): Abbott Laboratories, USA SOURCE: PCT Int. Appl., 412 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

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PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9639407	A1 19961212	WO 1996-US8991	19960605
W: AU, CA,	IL, JP, KP, MX		
RW: AT, BE,	CH, DE, DK, ES,	FI, FR, GB, GR, IE, IT,	LU, MC, NL, PT, SE
CA 2222322	AA 19961212	CA 1996-2222322	19960605
AU 9661530	A1 19961224	AU 1996-61530	19960605
EP 871628	A1 19981021	EP 1996-919103	19960605
R: AT, BE,	CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU,	NL, SE, PT, IE, FI
JP 11510478	T2 19990914	JP 1996-501420	19960605
PRIORITY APPLN. INFO	.:	US 1995-469159 A	19950606
		US 1996-638112 A	19960529

W 19960605

WO 1996-US8991 OTHER SOURCE(S): MARPAT 126:117990

GI

AB Title compds. [I; A = N or CR6; R1 = halo, (cyclo)alkyl, alkoxy, (un)substituted Ph, etc.; R2 = halo, (cyclo)alkyl, alkoxy, N-contg. heterocyclyl, etc.; R3 = H, halo, alkoxy; R4 = H, alkyl, cation, etc.; R5,R6 = H, halo, alkyl, alkoxy, etc.] were prepd. Thus, 4-FC6H4CH2C(:NH)NH2 was cyclocondensed with NaOCH:CFCO2Et (prepn. given) and the chlorinated product aminated by 1-methylpiperazine to give 5-fluoro-2-(4-fluorobenzyl)-4-(4-methylpiperazino)pyrimidine which was condensed with EtOCH:C(CO2Et)2 and the product cyclized to give, in 2 addnl. steps, title compd. II. Data for biol. activity of I were given.

IT 186197-04-6P 186198-69-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinolizinone- and pyridopyrimidinonecarboxylates as antibacterials)

RN 186197-04-6 CAPLUS

CN 4H-Quinolizine-3-carboxylic acid, 8-[3-(aminocyclopropylmethyl)-1-pyrrolidinyl]-1-cyclopropyl-7-fluoro-9-methyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{NH2} \\ & \text{N} & \text{CH} \\ & \text{N} & \text{N} & \text{N} & \text{CH} \\ & \text{N} & \text{N} & \text{N} & \text{CH} \\ & \text{N} & \text{N} & \text{N} & \text{CH} \\ & \text{N} & \text{N} & \text{N} & \text{N} \\ & \text{N} & \text{N} & \text{N} & \text{N} \\ & \text{N} & \text{N} & \text{N} & \text{N} \\ & \text{N} & \text{N} & \text{N} & \text{N} \\ & \text{N$$

● HCl

RN 186198-69-6 CAPLUS

CN 4H-Quinolizine-3-carboxylic acid, 8-[3-(aminocyclopropylmethyl)-1-pyrrolidinyl]-1-cyclopropyl-7-fluoro-9-methyl-4-oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{NH}_2 \\ & \text{N} & \text{CH} \\ & \text{O} \end{array}$$